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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 4	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 5	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 6	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 7	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 8	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9	MAR 08	X.25 communication option no longer available after June 2006
NEWS 10	MAR 22	EMBASE is now updated on a daily basis
NEWS 11	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 12	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 13	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 14	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 15	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 16	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS 17	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 18	MAY 11	KOREAPAT updates resume

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* *

COMPLETE THE STN SURVEY - APRIL 27 THROUGH MAY 31

Dear valued STN customer,

In an effort to enhance your experience with STN, we would like to better understand what you find useful. Please take approximately 5 minutes to complete a web survey.

If you provide us with your name, login ID, and e-mail address, you will be entered in a drawing to win a free iPod(R). Your responses will be kept confidential and will help us make future improvements to STN.

Take survey: http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW

Thank you in advance for your participation.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:33:56 ON 14 MAY 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:34:07 ON 14 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4
DICTIONARY FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
*

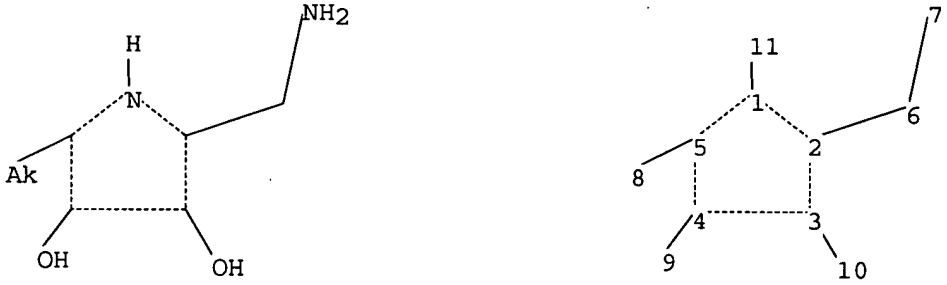
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10726550b.str

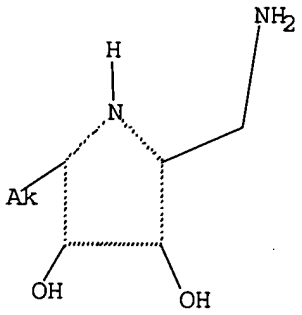


chain nodes :
6 7 8 9 10 11
ring nodes :
1 2 3 4 5
chain bonds :
1-11 2-6 3-10 4-9 5-8 6-7
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 3-10 4-5 4-9 5-8 6-7
exact bonds :
1-11 2-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:34:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 83 TO ITERATE

100.0% PROCESSED 83 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1114 TO 2206
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:34:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1724 TO ITERATE

100.0% PROCESSED 1724 ITERATIONS 21 ANSWERS
SEARCH TIME: 00.00.01

L3 21 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	167.15

FILE 'HCAPLUS' ENTERED AT 12:34:34 ON 14 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 14 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 12 May 2006 (20060512/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

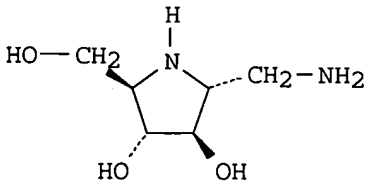
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 14 L3

=> s l4 and py<=2001
21818811 PY<=2001
L5 9 L4 AND PY<=2001

=> d l5 ibib abs hitstr tot

L5 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:330838 HCAPLUS
DOCUMENT NUMBER: 135:122363
TITLE: Powerful probes for glycosidases novel, fluorescently tagged glycosidase inhibitors
AUTHOR(S): Hermetter, Albin; Scholze, Hubert; Stutz, Arnold E.; Withers, Stephen G.; Wrodnigg, Tanja M.
CORPORATE SOURCE: Institut fur Biochemie der Technischen Universitat Graz, Graz, A-8010, Austria
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(10), 1339-1342
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:122363
GI



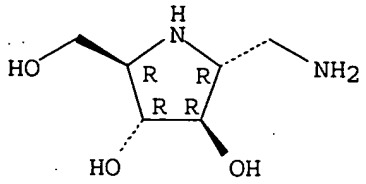
I

AB 1-Amino-1,2,5-trideoxy-2,5-imino-D-mannitol(I) was fluorescently tagged by reaction with dansyl chloride at N-1 or by attachment of a dansyl amide bearing spacer to this center. Compds. obtained are highly potent inhibitors of β-glucosidase exhibiting Ki values in the single figure nanomolar range. The 1-N-dansyl substituted inhibitor was successfully exploited for binding studies with β-glucosidase from Agrobacterium sp. employing fluorescence spectrometric methods.

IT **194288-65-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and evaluation of fluorescently tagged stereo-specific

pyrrolidine derivs. as β-glucosidase inhibitors)
RN 194288-65-8 HCAPLUS
CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R)-(9CI) (CA INDEX NAME)

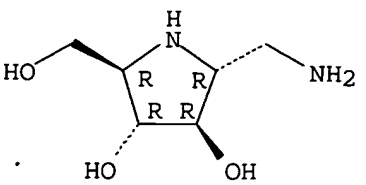
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:279046 HCAPLUS
DOCUMENT NUMBER: 135:133923
TITLE: Novel, lipophilic derivatives of 2,5-dideoxy-2,5-imino-D-mannitol (DMDP) are powerful β-glucosidase inhibitors
AUTHOR(S): Wrodnigg, T. M.; Withers, S. G.; Stutz, A. E.
CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(8), 1063-1064
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:133923
AB Novel derivs. of the d-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol bearing lipophilic aliphatic or aromatic amides attached to C-1 have been found to inhibit β-glucosidase from Agrobacterium sp. in the nanomolar range. One of them, a coumarin derivative, ranks amongst the most active compds. in the class of reversible glycosidase inhibitors of the iminoalditol type. Novel 1-N-acyl and 1-N-sulfonyl derivs. of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol exhibiting Ki values in the nanomolar range are reported.
IT **194288-65-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and structure activity relations of novel, lipophilic derivs. of dideoxyiminomannitol as β-glucosidase inhibitors)
RN 194288-65-8 HCAPLUS
CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

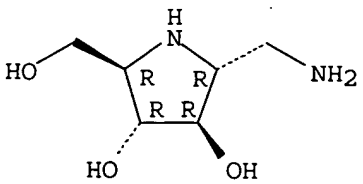
L5 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:787884 HCAPLUS
DOCUMENT NUMBER: 134:71810
TITLE: Biologically active 1-aminodeoxy and 1-O-alkyl derivatives of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol
AUTHOR(S): Wrodnigg, Tanja M.; Gaderbauer, Walter; Greimel, Peter; Hausler, Herwig; Sprenger, Friedrich K.; Stutz, Arnold E.; Virgona, Chris; Withers, Stephen G.
CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria
SOURCE: Journal of Carbohydrate Chemistry (2000), 19(8), 975-990
CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:71810

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-(N,N-dibenzyl)amino-1,5-dideoxy-D-fructopyranose, 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and in excellent overall yield. Likewise, other amines were employed to introduce extended side chains ultimately suitable for attachment of the inhibitor to solid supports. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force. A range of selected chain extended analogs was prepared by acylation of N-1. Inhibitors obtained exhibit Ki-values with D-glucosidases in the micromolar range. Interestingly, 1-N-acylation resulted in superior inhibitory activities, as did the addition of a hexyl chain.

IT **194288-65-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biol. active aminodeoxy and alkyl aminotrideoxyiminomannitol derivs. of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol)

RN 194288-65-8 HCAPLUS
CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



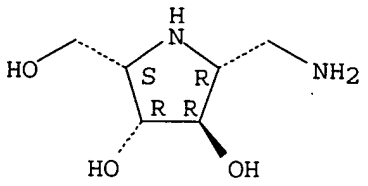
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:125861 HCAPLUS
DOCUMENT NUMBER: 132:237302
TITLE: Synthesis and evaluation as glycosidase inhibitors of 2,5-imino-D-glucitol and 1,5-imino-D-mannitol related derivatives
AUTHOR(S): McCort, Isabelle; Fort, Sebastien; Dureault, Annie; Depezay, Jean-Claude
CORPORATE SOURCE: Universite Rene Descartes, Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques, associe au CNRS, Paris, 75270, Fr.
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 135-143
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Selectively functionalized 2,5-imino-D-glucitol and 1,5-imino-D-mannitol derivs. were synthesized and tested as precursors of hydrolytically resistant pseudo-disaccharides. Among them N-acetyl-6-amino-6-deoxy-2,5-imino-D-glucitol and N-acetyl-6-amino-6-deoxy-1,5-imino-D-mannitol were found to be potent and specific inhibitors against β-D-glucosidase and α-L-fucosidase, resp.

IT **194288-74-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation as glycosidase inhibitors of iminoglucitol and iminomannitol related derivs.)
RN 194288-74-9 HCAPLUS
CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



10/26

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:750948 HCAPLUS
DOCUMENT NUMBER: 132:166484
TITLE: An approach to combinatorial library generation of galactofuranose mimics as potential inhibitors of mycobacterial cell wall biosynthesis: synthesis of a peptidomimetic of uridine 5'-diphosphogalactofuranose (UDP-galf)
AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Pickering, Lea; Fleet, George W. J.
CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford Center for Molecular Sciences, Oxford, OX1 3QY, UK
SOURCE: Tetrahedron Letters (1999), 40(49),

8689-8692
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

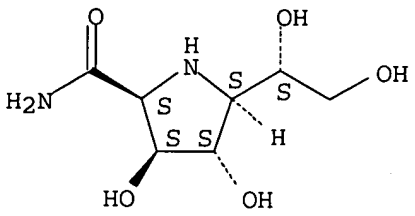
AB An approach to the synthesis of amide libraries based upon an α-iminogalactofuranose template as potential inhibitors of mycobacterial cell wall biosynthesis is described. The synthesis of peptide analogs of uridine 5'-phosphogalactofuranose (UDP-Galf) is also described.

IT **258501-98-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation of activity of as UDP-galactose mutase inhibitor)

RN 258501-98-3 HCAPLUS

CN 2-Pyrrolidinecarboxamide, 5-[(1S)-1,2-dihydroxyethyl]-3,4-dihydroxy-, (2S,3S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:358812 HCAPLUS
DOCUMENT NUMBER: 129:136393
TITLE: Synthesis of ester- and amide-linked pseudo-azadisaccharides via coupling of D-glucose with 6-amino-6-deoxy-2,5-imino-D-glucitol
AUTHOR(S): McCort, Isabelle; Dureault, Annie; Depezay, Jean-Claude
CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques associe au CNRS, Universite Rene Descartes, Paris, 75270, Fr.
SOURCE: Tetrahedron Letters (**1998**), 39(25), 4463-4466
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Hydrolytically-resistant pseudodisaccharides incorporating an azafuranose have been prepared by coupling 6-amino-2,5-imino-D-glucitol derivs. with D-glucose, either through an ester or an amide bond. Synthesis of the azasugar templates was achieved by nucleophilic opening of a C2 sym. bis-aziridine deriving from D-mannitol.

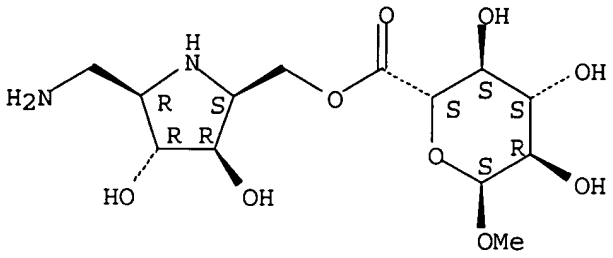
IT **210479-79-1P 210479-81-5P 210479-83-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of ester- and amide-linked pseudoazadisaccharides via coupling

of glucose with aminodeoxyiminoglucitol)
RN 210479-79-1 HCAPLUS
CN α-D-Glucopyranosiduronic acid, methyl, [(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

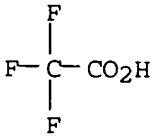
CRN 210479-78-0
CMF C13 H24 N2 O9

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1
CMF C2 H F3 O2

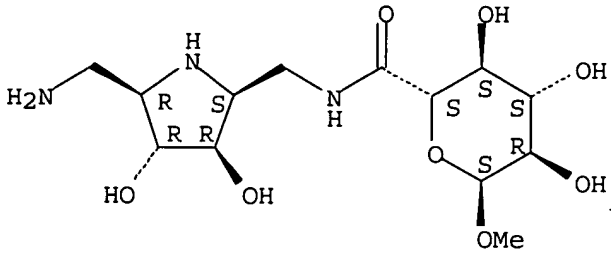


RN 210479-81-5 HCAPLUS
CN α-D-Glucopyranosiduronamide, methyl N-[[(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

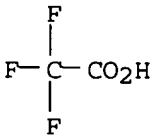
CRN 210479-80-4
CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1
CMF C2 H F3 O2

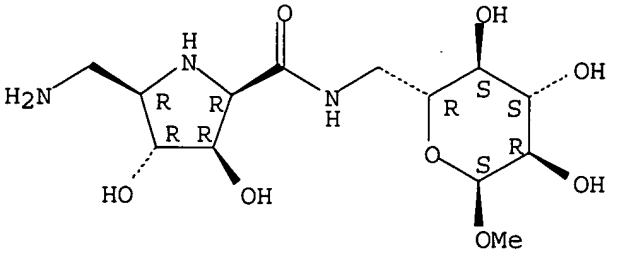


RN 210479-83-7 HCAPLUS
CN α-D-Glucopyranoside, methyl 6-[[[(2R,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]-6-deoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

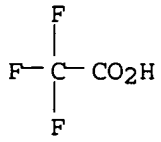
CRN 210479-82-6
CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1
CMF C2 H F3 O2



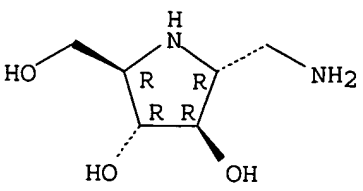
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:530913 HCAPLUS
DOCUMENT NUMBER: 127:190944
TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose
AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.
CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria
SOURCE: Tetrahedron Letters (**1997**), 38(31), 5463-5466
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.

IT **194288-65-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminotrideoxyiminomannitol via an Amadori rearrangement of azidodeoxyglucofuranose)
RN 194288-65-8 HCAPLUS
CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5R)-(9CI) (CA INDEX NAME)

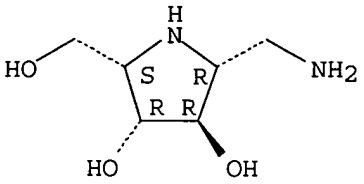
Absolute stereochemistry. Rotation (+).



IT **194288-74-9P**

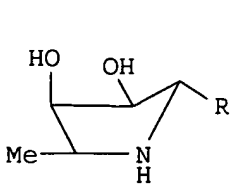
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminotrideoxyiminomannitol via an Amadori rearrangement of azidodeoxyglucofuranose)
RN 194288-74-9 HCAPLUS
CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-(hydroxymethyl)-, (2R,3R,4R,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:433855 HCAPLUS
DOCUMENT NUMBER: 122:291430
TITLE: Synthesis and Evaluation of Homoaza Sugars as Glycosidase Inhibitors
AUTHOR(S): Wong, Chi-Huey; Provencher, Louis; Porco, John A., Jr.; Jung, Sang-Hun; Wang, Yi-Fong; Chen, Lihren; Wang, Ruo; Steensma, Darryl H.
CORPORATE SOURCE: Department of Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of Organic Chemistry (**1995**), 60(6), 1492-501
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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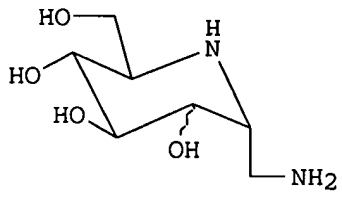
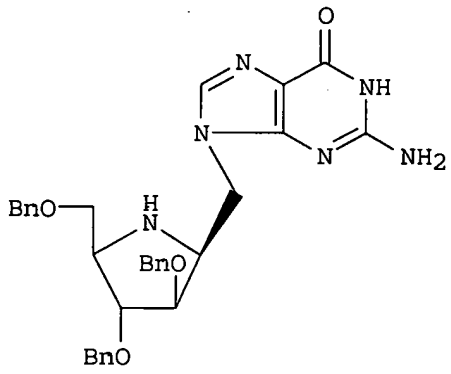


II



III

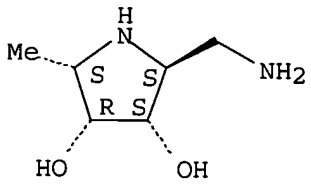
AB In an effort to develop transition-state mimetics of the glycosidase-catalyzed reaction, five- and six-membered azasugars and their homo-analogs were prepared and tested as inhibitors of glycosidases. Inhibition studies indicate that the fucosyl cation-like, five-membered imine and its reduced form I (R = H) are potent inhibitors of α-fucosidase from bovine kidney with resp. Ki values of 160 nM and 2 μM. The five-membered homoaminoaza sugar I (R = CH2NH2) is also a potent inhibitor of the enzyme (Ki = 1.9 + 10-6 M), while the glucose and mannose-like six-membered homoaminoaza sugars II are less potent than the corresponding 1-deoxyaza sugars as inhibitors of α-glucosidase and α-mannosidase, resp. The primary amino group was placed in an attempt to introduce addnl. electrostatic interactions in the active site. The inhibitory activities are, however, in the high μM range. Synthesis of homoaza sugars structurally related to a disaccharide and a nucleoside III is also described.

IT **162895-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation of homoaza sugars as glycosidase inhibitors)

RN 162895-60-5 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-methyl-, monohydrochloride, [2S-(2α,3β,4β,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



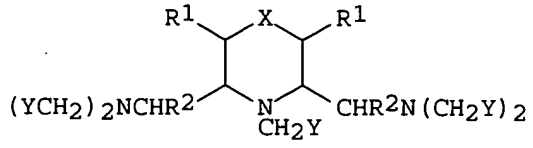
● HCl

L5 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:101739 HCAPLUS
DOCUMENT NUMBER: 114:101739
TITLE: Preparation of heterocyclic medical chelating agents and chelates
INVENTOR(S): Almen, Torsten; Berg, Arne; Dugstad, Harald; Klaveness, Jo; Krautwurst, Klaus Dieter; Rongved, Pal
PATENT ASSIGNEE(S): Cockbain, Julian Roderick Michaelson, UK; Nycomed A/S
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9008138	A1	19900726	WO 1990-EP79	19900115 <--
W: AU, CA, FI, GB, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2045539	AA	19900714	CA 1990-2045539	19900115 <--
AU 9049573	A1	19900813	AU 1990-49573	19900115 <--
AU 646795	B2	19940310		
EP 452392	A1	19911023	EP 1990-901813	19900115 <--
EP 452392	B1	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04502619	T2	19920514	JP 1990-502171	19900115 <--
JP 2953670	B2	19990927		
AT 121079	E	19950415	AT 1990-901813	19900115 <--
ES 2071089	T3	19950616	ES 1990-901813	19900115 <--
NO 9102749	A	19910712	NO 1991-2749	19910712 <--
NO 177783	B	19950814		
NO 177783	C	19951122		
FI 96416	B	19960315	FI 1991-3388	19910712 <--
FI 96416	C	19960625		
US 5348954	A	19940920	US 1991-690975	19910724 <--
US 5439668	A	19950808	US 1994-235882	19940502 <--

PRIORITY APPLN. INFO.: GB 1989-719 A 19890113
WO 1990-EP79 A 19900115
US 1991-690975 A3 19910724

OTHER SOURCE(S): MARPAT 114:101739
GI



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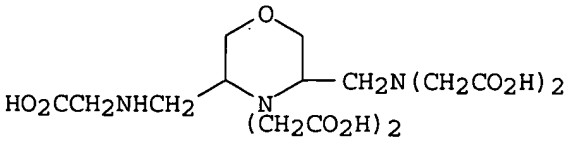


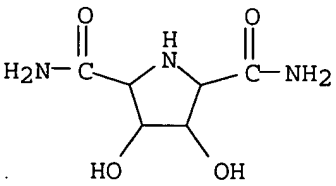
II

AB Title compds. I [X = bond, O, S, R1HC, R3N, R1, R2 = H, (substituted) alkyl, alkoxyalkyl; R3 = H, mono-, polyhydroxylated alkyl, etc.; Y = hydroxycarbamoyl, COZ; Z = (substituted) morpholino, etc.] useful as diagnostic, therapeutic, detoxification, imaging, or radiotherapy agents (no data), are prepared Thus, title compound II, prepared starting from 3-carboxamido-5-cyano-4-benzylmorpholine via 3,5-bis(aminomethyl)morpholine, was reacted with Gd2O3 in the presence of NaOH to give the 2Na salt of the Gd(III) chelate of II. Pharmaceutical formulations containing I salts and chelates are given.

IT **131883-76-6P 131883-77-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of medical chelating agent)

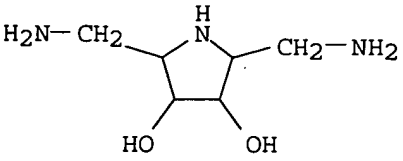
RN 131883-76-6 HCAPLUS

CN 2,5-Pyrrolidinedicarboxamide, 3,4-dihydroxy- (9CI) (CA INDEX NAME)



RN 131883-77-7 HCAPLUS

CN 3,4-Pyrrolidinediol, 2,5-bis(aminomethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

=> d l4 ibib abs tot

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:66761 HCAPLUS
DOCUMENT NUMBER: 144:225594
TITLE: Novel five-membered iminocyclitol derivatives as selective and potent glycosidase inhibitors: New structures for antivirals and osteoarthritis
AUTHOR(S): Liang, Pi-Hui; Cheng, Wei-Chieh; Lee, Yi-Ling; Yu, Han-Pang; Wu, Ying-Ta; Lin, Yi-Ling; Wong, Chi-Huey
CORPORATE SOURCE: The Genomics Research Center and Institute of Biomedical Sciences, Taipei, 11529, Taiwan
SOURCE: ChemBioChem (2006), 7(1), 165-173
CODEN: CBCHFX; ISSN: 1439-4227
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel 5-membered iminocyclitol derivative was a potent and selective inhibitor of the glycoprotein-processing α-glucosidase with a Ki value of 53 nM. This compound was further derivatized to antiviral agents against Japanese encephalitis virus, dengue virus serotype 2 (DEN-2), human SARS coronavirus, and human β-hexosaminidase (Ki = 2.6 nM), a new target for the development of osteoarthritis therapeutics.
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1060932 HCAPLUS
DOCUMENT NUMBER: 144:6996
TITLE: Stereoselective synthesis of (2S,3S,4R,5S)-5-methylpyrrolidine-3,4-diol derivatives that are highly selective α-L-fucosidase inhibitors
AUTHOR(S): Moreno-Vargas, Antonio J.; Carmona, Ana T.; Mora, Federico; Vogel, Pierre; Robina, Inmaculada
CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Chemistry, University of Seville, Seville, E-41071, Spain
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2005), (39), 4949-4951
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-Phenylaminomethyl benzimidazolyl moieties attached at C-2 of (2S,3S,4R,5S)-5-methylpyrrolidine-3,4-diol increase the potency and selectivity of the inhibitory activity of these systems towards α-L-fucosidases.
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:634317 HCAPLUS
DOCUMENT NUMBER: 141:314531
TITLE: Synthesis and high-throughput screening of N-acetyl-β-hexosaminidase inhibitor libraries targeting osteoarthritis
AUTHOR(S): Liu, Junjie; Numa, Mehdi M. D.; Liu, Haitian; Huang, Shi-Jung; Sears, Pamela; Shikhman, Alexander R.; Wong, Chi-Huey
CORPORATE SOURCE: Department of Chemistry and the Skaggs Institute for

Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of Organic Chemistry (2004), 69(19), 6273-6283
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB C1 Nitrogen iminocyclitols are potent inhibitors of N-acetyl-β-hexosaminidases. Given hexosaminidases' important roles in osteoarthritis, we developed two straightforward and efficient syntheses of C1 nitrogen iminocyclitols from two readily available starting materials, D-mannosamine hydrochloride and the microbial oxidation product of fructose. A diversity-oriented synthetic strategy was then performed by coupling these core structures with various aldehydes, carboxylic acids, and alkynes to generate three sep. libraries. High-throughput screening of the generated libraries with human N-acetyl-β-hexosaminidases produced only moderate inhibitory activities. However, the synthetic approach and screening strategy for these compds. will be applied to develop new potent inhibitors of human N-acetyl-β-hexosaminidases, particularly when combined with the structural information of these enzymes.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:469769 HCAPLUS
DOCUMENT NUMBER: 141:291056
TITLE: Probing the aglycon binding site of a β-glucosidase: a collection of C-1-modified 2,5-dideoxy-2,5-imino-D-mannitol derivatives and their structure-activity relationships as competitive inhibitors
AUTHOR(S): Wrodnigg, Tanja M.; Diness, Frederik; Gruber, Christoph; Hausler, Herwig; Lundt, Inge; Rupitz, Karen; Steiner, Andreas J.; Stutz, Arnold E.; Tarling, Chris A.; Withers, Stephen G.; Wolfler, Heidrun
CORPORATE SOURCE: Glycogroup, Institut fuer Organische Chemie, Technische Universitaet Graz, Graz, A-8010, Austria
SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(13), 3485-3495
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:291056

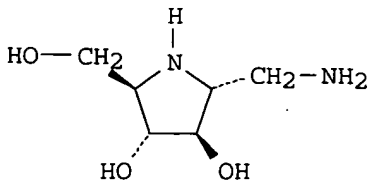
AB A range of new C-1 modified derivs. of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol has been synthesized and their biol. activities probed with the β-glucosidase from Agrobacterium sp. Ki values are compared with those of previously prepared close relatives. Findings suggest dramatic effects exerted by the aglycon binding site on substrate/inhibitor binding.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:400378 HCAPLUS
DOCUMENT NUMBER: 141:38786
TITLE: Syntheses and glycosidase inhibitory activities of 2-(aminomethyl)-5-(hydroxymethyl)pyrrolidine-3,4-diol

derivatives
AUTHOR(S): Popowycz, Florence; Gerber-Lemaire, Sandrine; Schutz, Catherine; Vogel, Pierre
CORPORATE SOURCE: Institute of Chemical Sciences and Engineering, Swiss Federal Institute of Technology, EPFL-BCH, Lausanne, CH-1015, Switz.
SOURCE: Helvetica Chimica Acta (2004), 87(4), 800-810
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:38786
AB New 2-(aminomethyl)-5-(hydroxymethyl)pyrrolidine-3,4-diol derivs. were synthesized from (5S)-5-[(trityloxy)methyl]pyrrolidin-2-one and their inhibitory activities toward glycosidases were evaluated. The influence of the configuration of the pyrrolidine ring on glycosidase inhibition was evaluated. (2R,3R,4S,5R)-2-[(benzylamino)methyl]-5-(hydroxymethyl)pyrrolidine-3,4-diol was a good and selective inhibitor of α-mannosidase from jack bean (Ki = 1.2 μM) and from almond (Ki = 1.0 μM). Selectivity was lost for the non-benzylated derivative (2R,3R,4S,SR)-2-(aminomethyl)-5-(hydroxy-ethyl)pyrrolidine-3,4-diol which inhibited α-galactosidases, β-galactosidases, β-glucosidases, and α-N-acetylgalactosaminidase as well.
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:330838 HCAPLUS
DOCUMENT NUMBER: 135:122363
TITLE: Powerful probes for glycosidases novel, fluorescently tagged glycosidase inhibitors
AUTHOR(S): Hermetter, Albin; Scholze, Hubert; Stutz, Arnold E.; Withers, Stephen G.; Wrodnigg, Tanja M.
CORPORATE SOURCE: Institut fur Biochemie der Technischen Universitat Graz, Graz, A-8010, Austria
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(10), 1339-1342
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:122363
GI



I

AB 1-Amino-1,2,5-trideoxy-2,5-imino-D-mannitol(I) was fluorescently tagged by reaction with dansyl chloride at N-1 or by attachment of a dansyl amide bearing spacer to this center. Compds. obtained are highly potent inhibitors of β-glucosidase exhibiting Ki values in the single figure nanomolar range. The 1-N-dansyl substituted inhibitor was successfully

exploited for binding studies with β-glucosidase from Agrobacterium sp. employing fluorescence spectrometric methods.
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:279046 HCAPLUS
DOCUMENT NUMBER: 135:133923
TITLE: Novel, lipophilic derivatives of 2,5-dideoxy-2,5-imino-D-mannitol (DMDP) are powerful β-glucosidase inhibitors
AUTHOR(S): Wrodnigg, T. M.; Withers, S. G.; Stutz, A. E.
CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(8), 1063-1064
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:133923
AB Novel derivs. of the d-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol bearing lipophilic aliphatic or aromatic amides attached to C-1 have been found to inhibit β-glucosidase from Agrobacterium sp. in the nanomolar range. One of them, a coumarin derivative, ranks amongst the most active compds. in the class of reversible glycosidase inhibitors of the iminoalditol type. Novel 1-N-acyl and 1-N-sulfonyl derivs. of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol exhibiting Ki values in the nanomolar range are reported.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:787884 HCAPLUS
DOCUMENT NUMBER: 134:71810
TITLE: Biologically active 1-aminodeoxy and 1-O-alkyl derivatives of the powerful D-glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol
AUTHOR(S): Wrodnigg, Tanja M.; Gaderbauer, Walter; Greimel, Peter; Hausler, Herwig; Sprenger, Friedrich K.; Stutz, Arnold E.; Virgona, Chris; Withers, Stephen G.
CORPORATE SOURCE: Glycogroup, Institut fur Organische Chemie, Technische Universitat Graz, Graz, A-8010, Austria
SOURCE: Journal of Carbohydrate Chemistry (2000), 19(8), 975-990
CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:71810
AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-(N,N-dibenzyl)amino-1,5-dideoxy-D-fructopyranose, 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and in excellent overall yield. Likewise, other amines were employed to introduce extended side chains ultimately suitable for attachment of the inhibitor to solid supports. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring

enlargement of the aldofuranose to the ketopyranose as an addnl. driving force. A range of selected chain extended analogs was prepared by acylation of N-1. Inhibitors obtained exhibit Ki-values with D-glucosidases in the micromolar range. Interestingly, 1-N-acylation resulted in superior inhibitory activities, as did the addition of a hexyl chain.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:125861 HCAPLUS
DOCUMENT NUMBER: 132:237302
TITLE: Synthesis and evaluation as glycosidase inhibitors of 2,5-imino-D-glucitol and 1,5-imino-D-mannitol related derivatives
AUTHOR(S): McCort, Isabelle; Fort, Sebastien; Dureault, Annie; Depezay, Jean-Claude
CORPORATE SOURCE: Universite Rene Descartes, Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques, associe au CNRS, Paris, 75270, Fr.
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 135-143
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Selectively functionalized 2,5-imino-D-glucitol and 1,5-imino-D-mannitol derivs. were synthesized and tested as precursors of hydrolytically resistant pseudo-disaccharides. Among them N-acetyl-6-amino-6-deoxy-2,5-imino-D-glucitol and N-acetyl-6-amino-6-deoxy-1,5-imino-D-mannitol were found to be potent and specific inhibitors against β-D-glucosidase and α-L-fucosidase, resp.
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:750948 HCAPLUS
DOCUMENT NUMBER: 132:166484
TITLE: An approach to combinatorial library generation of galactofuranose mimics as potential inhibitors of mycobacterial cell wall biosynthesis: synthesis of a peptidomimetic of uridine 5'-diphosphogalactofuranose (UDP-galf)
AUTHOR(S): Lee, Richard E.; Smith, Martin D.; Pickering, Lea; Fleet, George W. J.
CORPORATE SOURCE: Dyson Perrins Laboratory, Oxford Center for Molecular Sciences, Oxford, OX1 3QY, UK
SOURCE: Tetrahedron Letters (1999), 40(49), 8689-8692
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An approach to the synthesis of amide libraries based upon an α-iminogalactofuranose template as potential inhibitors of mycobacterial cell wall biosynthesis is described. The synthesis of peptide analogs of uridine 5'-phosphogalactofuranose (UDP-Galf) is also described.
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:358812 HCAPLUS
DOCUMENT NUMBER: 129:136393
TITLE: Synthesis of ester- and amide-linked pseudo-azadisaccharides via coupling of D-glucose with 6-amino-6-deoxy-2,5-imino-D-glucitol
AUTHOR(S): McCort, Isabelle; Dureault, Annie; Depezay, Jean-Claude
CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques associe au CNRS, Universite Rene Descartes, Paris, 75270, Fr.
SOURCE: Tetrahedron Letters (1998), 39(25), 4463-4466
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Hydrolytically-resistant pseudodisaccharides incorporating an azafuranose have been prepared by coupling 6-amino-2,5-imino-D-glucitol derivs. with D-glucose, either through an ester or an amide bond. Synthesis of the azasugar templates was achieved by nucleophilic opening of a C2 sym. bis-aziridine deriving from D-mannitol.
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:530913 HCAPLUS
DOCUMENT NUMBER: 127:190944
TITLE: Synthesis of 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol, a novel analog of the powerful glucosidase inhibitor 2,5-dideoxy-2,5-imino-D-mannitol, via an Amadori rearrangement of 5-azido-5-deoxy-D-glucofuranose
AUTHOR(S): Wrodnigg, Tanja M.; Stutz, Arnold E.; Withers, Steven G.
CORPORATE SOURCE: Institut fur Organische Chemie der Technischen Universitat Graz, Graz, A-8010, Austria
SOURCE: Tetrahedron Letters (1997), 38(31), 5463-5466
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB By an Amadori rearrangement of easily available 5-azido-5-deoxy-D-glucofuranose with dibenzylamine and subsequent catalytic hydrogenation of the resulting 5-azido-1-dibenzylamino-1,5-dideoxy-D-fructopyranose, the new 1-amino-1,2,5-trideoxy-2,5-imino-D-mannitol was obtained in only two steps and excellent overall yield. Likewise, other amines and/or other 5-modified hexofuranoses can be used to advantage. The reported rearrangement reaction is a high yielding, convenient and apparently general entry to 1-aminodeoxyketopyranoses modified at C-5, facilitated by the ring enlargement of the aldofuranose to the ketopyranose as an addnl. driving force.
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:433855 HCAPLUS
DOCUMENT NUMBER: 122:291430
TITLE: Synthesis and Evaluation of Homoaza Sugars as Glycosidase Inhibitors
AUTHOR(S): Wong, Chi-Huey; Provencher, Louis; Porco, John A.,

Jr.; Jung, Sang-Hun; Wang, Yi-Fong; Chen, Lihren; Wang, Ruo; Steensma, Darryl H.
CORPORATE SOURCE: Department of Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of Organic Chemistry (1995), 60(6), 1492-501
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
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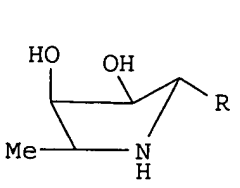

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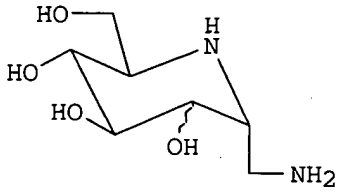

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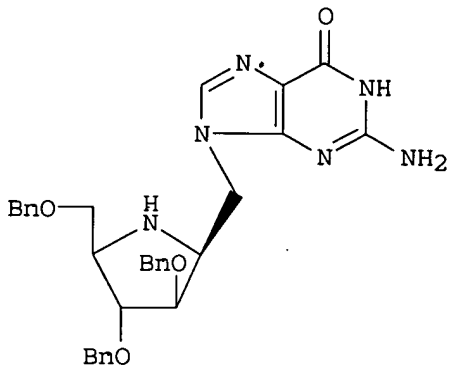


III

AB In an effort to develop transition-state mimetics of the glycosidase-catalyzed reaction, five- and six-membered azasugars and their homo-analogs were prepared and tested as inhibitors of glycosidases. Inhibition studies indicate that the fucosyl cation-like, five-membered imine and its reduced form I (R = H) are potent inhibitors of α-fucosidase from bovine kidney with resp. Ki values of 160 nM and 2 μM. The five-membered homoaminoaza sugar I (R = CH2NH2) is also a potent inhibitor of the enzyme (Ki = 1.9 + 10-6 M), while the glucose and mannose-like six-membered homoaminoaza sugars II are less potent than the corresponding 1-deoxyaza sugars as inhibitors of α-glucosidase and α-mannosidase, resp. The primary amino group was placed in an attempt to introduce addnl. electrostatic interactions in the active site. The inhibitory activities are, however, in the high μM range. Synthesis of homoaza sugars structurally related to a disaccharide and a nucleoside III is also described.

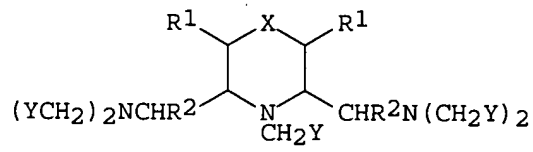
L4 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:101739 HCAPLUS
DOCUMENT NUMBER: 114:101739
TITLE: Preparation of heterocyclic medical chelating agents and chelates

INVENTOR(S): Almen, Torsten; Berg, Arne; Dugstad, Harald; Klaveness, Jo; Krautwurst, Klaus Dieter; Rongved, Pal
PATENT ASSIGNEE(S): Cockbain, Julian Roderick Michaelson, UK; Nycomed A/S
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9008138	A1	19900726	WO 1990-EP79	19900115
W: AU, CA, FI, GB, JP, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
CA 2045539	AA	19900714	CA 1990-2045539	19900115
AU 9049573	A1	19900813	AU 1990-49573	19900115
AU 646795	B2	19940310		
EP 452392	A1	19911023	EP 1990-901813	19900115
EP 452392	B1	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04502619	T2	19920514	JP 1990-502171	19900115
JP 2953670	B2	19990927		
AT 121079	E	19950415	AT 1990-901813	19900115
ES 2071089	T3	19950616	ES 1990-901813	19900115
NO 9102749	A	19910712	NO 1991-2749	19910712
NO 177783	B	19950814		
NO 177783	C	19951122		
FI 96416	B	19960315	FI 1991-3388	19910712
FI 96416	C	19960625		
US 5348954	A	19940920	US 1991-690975	19910724
US 5439668	A	19950808	US 1994-235882	19940502

PRIORITY APPLN. INFO.: GB 1989-719 A 19890113
WO 1990-EP79 A 19900115
US 1991-690975 A3 19910724

OTHER SOURCE(S): MARPAT 114:101739
GI



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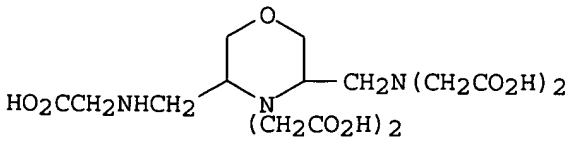

II

AB Title compds. I [X = bond, O, S, R1HC, R3N, R1, R2 = H, (substituted) alkyl, alkoxyalkyl; R3 = H, mono-, polyhydroxylated alkyl, etc.; Y = hydroxycarbamoyl, COZ; Z = (substituted) morpholino, etc.] useful as diagnostic, therapeutic, detoxification, imaging, or radiotherapy agents (no data), are prepared Thus, title compound II, prepared starting from 3-carboxamido-5-cyano-4-benzylmorpholine via 3,5-

bis(aminomethyl)morpholine, was reacted with Gd2O3 in the presence of NaOH to give the 2Na salt of the Gd(III) chelate of II. Pharmaceutical formulations containing I salts and chelates are given.

=> d his

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FILE 'REGISTRY' ENTERED AT 12:34:07 ON 14 MAY 2006
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L2 1 S L1
L3 21 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 12:34:34 ON 14 MAY 2006
L4 14 S L3
L5 9 S L4 AND PY<=2001

=> s l4 and azasugar
122 AZASUGAR
111 AZASUGARS
187 AZASUGAR
(AZASUGAR OR AZASUGARS)
L6 2 L4 AND AZASUGAR

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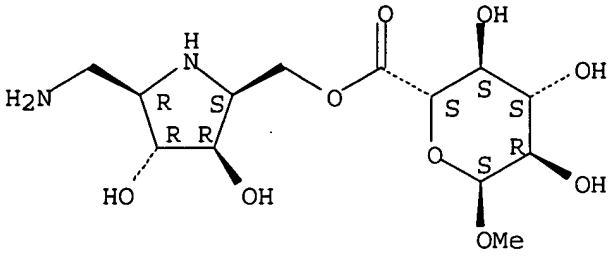
L6 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:358812 HCAPLUS
DOCUMENT NUMBER: 129:136393
TITLE: Synthesis of ester- and amide-linked pseudo-azadisaccharides via coupling of D-glucose with 6-amino-6-deoxy-2,5-imino-D-glucitol
AUTHOR(S): McCort, Isabelle; Dureault, Annie; Depezay, Jean-Claude
CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques associe au CNRS, Universite Rene Descartes, Paris, 75270, Fr.
SOURCE: Tetrahedron Letters (1998), 39(25), 4463-4466
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Hydrolytically-resistant pseudodisaccharides incorporating an azafuranose have been prepared by coupling 6-amino-2,5-imino-D-glucitol derivs. with D-glucose, either through an ester or an amide bond. Synthesis of the **azasugar** templates was achieved by nucleophilic opening of a C2 sym. bis-aziridine deriving from D-mannitol.
IT **210479-79-1P 210479-81-5P 210479-83-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of ester- and amide-linked pseudoazadisaccharides via coupling of glucose with aminodeoxyiminoglucitol)
RN 210479-79-1 HCAPLUS
CN α-D-Glucopyranosiduronic acid, methyl, [(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

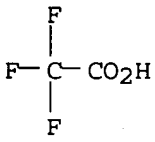
CRN 210479-78-0
CMF C13 H24 N2 O9

Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 210479-81-5 HCAPLUS
CN α-D-Glucopyranosiduronamide, methyl N-[[(2S,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]methyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

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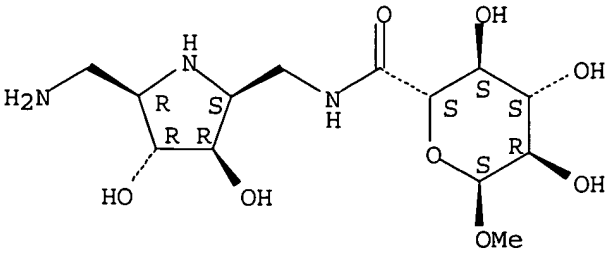
CRN 210479-80-4
CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



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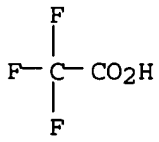
CRN 76-05-1
CMF C2 H F3 O2

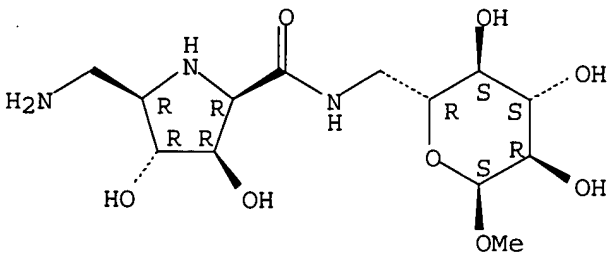


RN 210479-83-7 HCAPLUS
CN α-D-Glucopyranoside, methyl 6-[[[(2R,3R,4R,5R)-5-(aminomethyl)-3,4-dihydroxy-2-pyrrolidinyl]carbonyl]amino]-6-deoxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

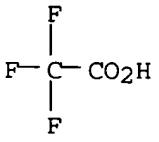
CRN 210479-82-6
CMF C13 H25 N3 O8

Absolute stereochemistry. Rotation (+).



CM 2

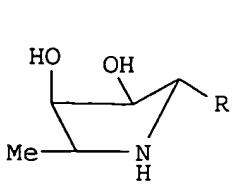
CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:433855 HCAPLUS
DOCUMENT NUMBER: 122:291430
TITLE: Synthesis and Evaluation of Homoaza Sugars as Glycosidase Inhibitors
AUTHOR(S): Wong, Chi-Huey; Provencher, Louis; Porco, John A., Jr.; Jung, Sang-Hun; Wang, Yi-Fong; Chen, Lihren; Wang, Ruo; Steensma, Darryl H.
CORPORATE SOURCE: Department of Chemistry, Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of Organic Chemistry (1995), 60(6), 1492-501

CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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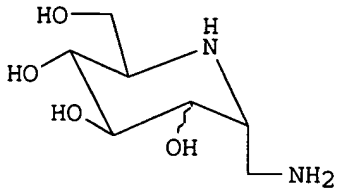

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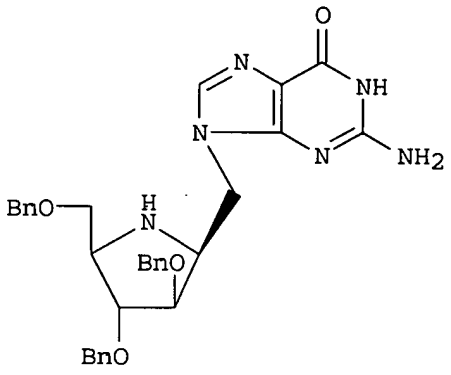


III

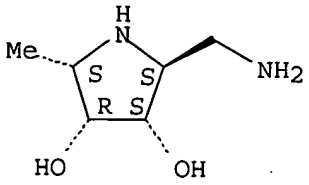
AB In an effort to develop transition-state mimetics of the glycosidase-catalyzed reaction, five- and six-membered **azasugars** and their homo-analogs were prepared and tested as inhibitors of glycosidases. Inhibition studies indicate that the fucosyl cation-like, five-membered imine and its reduced form I (R = H) are potent inhibitors of α-fucosidase from bovine kidney with resp. Ki values of 160 nM and 2 μM. The five-membered homoaminoaza sugar I (R = CH2NH2) is also a potent inhibitor of the enzyme (Ki = 1.9 + 10-6 M), while the glucose and mannose-like six-membered homoaminoaza sugars II are less potent than the corresponding 1-deoxyaza sugars as inhibitors of α-glucosidase and α-mannosidase, resp. The primary amino group was placed in an attempt to introduce addnl. electrostatic interactions in the active site. The inhibitory activities are, however, in the high μM range. Synthesis of homoaza sugars structurally related to a disaccharide and a nucleoside III is also described.

IT **162895-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and evaluation of homoaza sugars as glycosidase inhibitors)

RN 162895-60-5 HCAPLUS

CN 3,4-Pyrrolidinediol, 2-(aminomethyl)-5-methyl-, monohydrochloride, [2S-(2α,3β,4β,5β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	107.22	274.37

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.75	-18.75

STN INTERNATIONAL LOGOFF AT 12:37:47 ON 14 MAY 2006